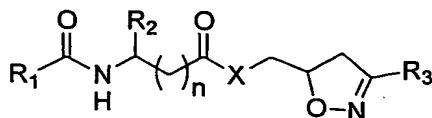


## WHAT IS CLAIMED IS:

1. A tTGase inhibitor of the formula:



wherein  $R_1$  and  $R_2$  are independently selected from H, alkyl, alkenyl, cycloalkyl, aryl, heteroalkyl, heteroaryl, alkoxy, alkylthio, arakyl, aralkenyl, halo, haloalkyl, haloalkoxy, heterocyclyl, and heterocyclylalkyl groups, an amino acid, a peptide, a peptidomimetic, or a peptidic protecting group; wherein  $R_2$  can additionally be selected from the group consisting of LPYPQPQLPY, LPFPQPQLPF-NH<sub>2</sub>, LPYPQPQLP, LPYPQPQLPYQPQPF, LP-X<sub>2-15</sub>, where X<sub>2-15</sub> is a peptide consisting of any 2-15 amino acid residues followed by a C-terminal proline;  $R_3$  is selected from F, I, Cl, and Br;  $n$  is from 0 to 10; and  $X$  is selected from the group consisting of O and NH,

other than {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester.

2. The inhibitor of Claim 1, wherein  $R_1$  is selected from the group consisting of BnO, Me, Cbz, Fmoc, Boc, PQP, Ac-PQP, PQPQLPYPQP, Ac-PQPQLPFPQP, QLQFPQP, LQLQFPQPQLPYPQP, X<sub>2-15</sub>-P, where X<sub>2-15</sub> is a peptide consisting of any 2-15 amino acid residues followed by a N-terminal proline.

3. The inhibitor of Claim 1, wherein  $R_2$  is selected from the group consisting of (S)-Bn, (S)-CO<sub>2</sub>Me, (S)-Me, (R)-Bn, (S)-CH<sub>2</sub>CONHBn, (S)-(1*H*-inol-yl)-methyl, (S)-(4-hydroxy-phenyl)-methyl, OMe, OtBu, Gly, Gly-NH<sub>2</sub>, LPY, LPF-NH<sub>2</sub>.

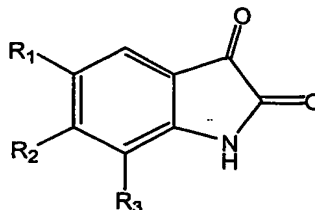
4. The inhibitor of Claim 1, wherein  $R_3$  is Br.

5. The inhibitor of Claim 1, wherein said tTGase inhibitor is selected from the group consisting of:

{(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; (S)-2-Benzyloxycarbonylamino-4-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-butyric acid methyl ester; (S)-2-Benzyloxycarbonylamino-N-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-succinamic acid methyl ester; (S)-2-Benzyloxycarbonylamino-3-phenyl-propionic acid 3-bromo-4,5-dihydro-isoxazol-5-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; (S)-2-Acetylamino-N-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-propionamide; {(R)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-

ethyl}-carbamic acid benzyl ester; {(S)-2-Benzylcarbamo-1-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-ethyl]-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(1H-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamo-1-2-phenyl-ethyl]-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid benzyl ester; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-(2-chloro-5-trifluoromethyl-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-(4-chloro-2-trifluoromethyl-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-(4-fluoro-phenyl)-urea; 1-(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-(2,5-dimethyl-phenyl)-urea; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-fluoro-phenyl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(3-fluoro-phenyl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(1H-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(5-hydroxy-1H-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid pyridin-4-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid pyridin-3-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid phenethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid naphthalen-2-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid 1,1-dioxo-1H-1 $\lambda$ 6-benzo[b]thiophen-2-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamo-1-2-(5-hydroxy-1H-indol-3-yl)-ethyl]-carbamic acid 1,1-dioxo-1H-1 $\lambda$ 6-benzo[b]thiophen-2-ylmethyl ester.

6. A tTGase inhibitor of the formula:



where R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are independently selected from H, a halo group, alkyl, aryl, and NO<sub>2</sub>.

7. The tTGase inhibitor of Claim 11, wherein said inhibitor is selected from the group consisting of:

2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonic acid propylamide; 2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonic acid benzylamide; (S)-1-(2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonyl)-pyrrolidine-2-carboxylic acid methyl ester; (S)-2-(2,3-Dioxo-2,3-dihydro-1H-indole-5-sulfonylamino)-3-phenyl-propionamide; (S)-N-(2-Dimethylamino-ethyl)-2-(2,3-dioxo-2,3-dihydro-1H-indole-5-sulfonyl amino)-3-phenyl-propionamide; 6-Bromo-7-methyl-1H-indole-2,3-dione; 7-Methyl-6-phenyl-1H-indole-2,3-dione

8. A formulation for use in treatment of Celiac Sprue and/or dermatitis herpetiformis, comprising:

an effective dose of the tTGase inhibitor according to any of claims 1-7 and a pharmaceutically acceptable excipient.

9. A method of treating Celiac Sprue and/or dermatitis herpetiformis, the method comprising:

administering to a patient an effective dose of a formulation according to Claim 8; wherein said tTGase inhibitor attenuates gluten toxicity in said patient

10. The method of Claim 9, wherein said formulation is administered with a glutenase.

11. The method according to Claim 9, wherein said formulation is administered orally.

12. The method according to Claim 9, wherein said formulation comprises an enteric coating.